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United States Patent [19]**Speaker et al.**[11] **Patent Number:** **5,686,113**[45] **Date of Patent:** **Nov. 11, 1997**[54] **MICROCAPSULES OF PREDETERMINED PEPTIDE(S) SPECIFICITY (IES), THEIR PREPARATION AND USES**[75] **Inventors:** **Tully J. Speaker; Kenneth J. Sultzbaugh**, both of Philadelphia, Pa.[73] **Assignee:** **Temple University of the Commonwealth System of Higher Education**, Philadelphia, Pa.[21] **Appl. No.:** **408,052**[22] **Filed:** **Mar. 21, 1995**[51] **Int. Cl.⁶** **A61K 9/16; A61K 9/50**[52] **U.S. Cl.** **424/490; 424/491; 424/492; 424/493; 424/494; 424/497**[58] **Field of Search** **424/490, 491, 424/492, 493, 494, 495, 497**[56] **References Cited****U.S. PATENT DOCUMENTS**

3,137,631	6/1964	Soloway	424/491
3,959,457	5/1976	Speaker et al.	424/1.25
4,205,060	5/1980	Monsimer et al.	424/495
4,606,940	8/1986	Frank et al.	427/213.32
4,744,933	5/1988	Rha et al.	264/4.3
5,132,117	7/1992	Speaker et al.	424/490

FOREIGN PATENT DOCUMENTS

2135954 9/1984 United Kingdom .

OTHER PUBLICATIONSJ.D. Andrade et al., "Coated adsorbents for direct blood perfusion: HAMA/activated carbon", *Trans. Amer. Soc. Artif. Int. Organs*. 17:222-228 (1971).F. Lim & Sun, "Microencapsulated Islets as Bioartificial Endocrine Pancreas", *Science* 210:908-910 (1980).Gref et al., "Biodegradable Long-Circulating Polymeric Nanospheres", *Science* 263:1600-1603 (1994).Torchilin et al., "Targeted accumulation of polyethylene glycol-coated Immunoliposomes in Infected rabbit myocardium", *J. Fed. Amer. Soc. Expl. Biol.* 6:2716-2719 (1992).
Illum et al., *Biomaterials* 8:113 (1987).Lee et al., "Protein Adsorption on Pluronic Copolymer Coated Polystyrene Particles", *J. Colloid Interface Sci.* 131:252-266 (1989).Lehr, C.M. et al., "Bioadhesion by Means of Specific Binding of Tomato Lectin", *Pharm. Res.* 9:547-553 (1992).Urdal and Hakomori "Tumor-associated Ganglio-N-triosylceramide", *J. Biol. Chem.* 255:10509-10515 (1980).Weinstein et al., "Antibody Medicated Targeting of Liposomes Binding to Lymphocytes Does Not Insure Incorporation of Vesicle Contents into Cells", *B. B. A.* 509:272-288 (1978).Leserman et al., "Binding of Antigen-Bearing Fluorescent Liposomes to the Murine Myeloma Tumor MOPC 315", *J. Immunol.* 122:585-591 (1979).Rivnay and Wilchek, "Use of Avidin-Biotin Technology for Liposome Targeting", in *Methods in Enzymology* 149:119-123 (1987).*Primary Examiner*—Nathan M. Nutter*Attorney, Agent, or Firm*—Ratner & Prestia

[57]

ABSTRACT

An aqueous core microcapsule has a capsular wall provided with a peptide(s) of pre-determined binding specificity(ies) appended to the surface, the wall being the reaction product of an anionic polymer or salt thereof and a polyamine, salt thereof, mixtures thereof, or mixtures thereof with monoamines. The aqueous core may contain an active ingredient(s), and be targeted for delivery to specific cell tissues. The microcapsules are provided as a composition and in a kit with instructions for use in imaging, diagnosis, therapy, vaccination, and other applications.

42 Claims, No Drawings